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(54) PRODUCTION OF CYTOSINE NUCLEOSIDE

(57) Abstract:

PURPOSE: To obtain the titled compound useful as a medicine or its synthetic intermediate, in high yield, by reacting uridine with a hexaalkyldisilazane and an acid amide in a closed vessel, thereby carrying out the amination of the 4-site of uridine under low pressure at a stroke.

CONSTITUTION: The objective compound can be produced by reacting (A) uridine which may be protected at the OH group with (B) a hexaalkyldisilazane [preferably the compound of formula (R^1 is alkyl), especially hexamethyldisilazane and (C) an acid amide {e.g. the compound of formula R^2CONHR^3 [R^2 and R^3 are H, (substituted) alkyl, aralkyl, aryl or alkenyl], e.g. acetamide, etc.} in a closed vessel preferably at 90W170°C and 1W25kg/cm^2 pressure, and if necessary, removing the protecting group. The amounts of the components B and C are 2W10mol and 0.1W3mol per 1mol of the component A, respectively.